AMENDMENTS TO THE CLAIMS

2

OK TO ENTER: 1/B.R.1. (Cancelled)

22. (Currently amended) A compound represented by the formula

$$\begin{array}{c|c}
R^2 & N & R^1 \\
X-Q & & L & R^4
\end{array}$$

wherein

R1 and R2 are the same or different and each is

(1)-a C_{1-10} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a C_{3-10} cycloalkyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkoxy group;

(2) a C₆₋₁₄ aryl group-optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group; or

(3) a Cz 12 aralkyl group:

 R^3 is a C₆₋₁₄ aryl group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group optionally substituted by 1 to 3 halogen atom(s), a halogen atom, a C₁₋₆ alkoxy-carbonyl group, a carboxyl group, a hydroxy group, and a C₁₋₆ alkoxy group optionally substituted by 1 to 3 halogen atom(s); R^4 is an amino group;

L is a C₁₋₁₀ alkylene group;

 $Q\,$ is a bond, a $C_{1\text{--}10}\,\text{alkylene}$ group or a $C_{2\text{--}10}\,\text{alkenylene}$ group; and $X\,$ is

- (2) a cyano group;
- (3) (3a) a carboxyl group;
 - (3b) a carbamoyl group;
 - (3c) a C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3

substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl-carbonyloxy group;

- (3d) an aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group and a C_{1-6} alkoxy-carbonyl group;
- (3e) a non-aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by a C_{1-6} alkyl group;
- (3f) a C₇₋₁₃ aralkyloxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylsulfonyl group and a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, C₁₋₆ alkoxy-carbonyl group and a carbamoyl group):
- (3g) a carbamoyl group mono- or di-substituted by a $C_{1.6}$ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a $C_{1.6}$ alkoxy group:
- (3h) a carbamoyl- $C_{1.6}$ alkyl-carbamoyl group optionally mono- or disubstituted by a $C_{1.6}$ alkyl group optionally substituted by 1 to 3 halogen atom(s);
- (3i) a C_{1-6} alkoxy-carbonyl- C_{1-6} alkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;
- (3j) a mono- or di- C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a $C_{1.6}$ alkyl group:
- (3k) a C_{7-13} aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkyl group;
- (3I) an aromatic heterocyclyl-C₁₋₆ alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group:

Docket No.: 66540(46590)

Application No. 10/577,561 Amendment dated October 9, 2009 After Final Office Action of July 14, 2009

(3m) a C_{1-6} alkylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C_{1-6} alkoxy-carbonyl group;

- (3n) a C₆₋₁₄ arylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkylsulfonyl group;
- (3o) a nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group and a C₁₋₆ alkoxy-carbonyl group;
- (3p) a C₆₋₁₄ aryl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s):
- (3q) a C₇₋₁₃ aralkyl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group;
- (3s) a phosphono group optionally mono- or di-substituted by a C_{1-6} alkyl group:
 - (3t) an aromatic heterocyclyl-C₇₋₁₃ aralkyloxy-carbonyl group;
- (3u) a C_{3-10} cycloalkyl- C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (3v) a C₆₋₁₄ aryl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from an amino group optionally mono- or di-substituted by a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group; or
- (3w) an aromatic heterocyclyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (4) (4a) a C₁₋₆ alkyl-carbonyloxy group;
 - (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3

substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;

- (4c) a C_{6-14} aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfinyl group and a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group);
- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (4e) a fused aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
- (4f) an aromatic heterocyclyl- $C_{1.6}$ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group; or
 - (4g) an aromatic heterocyclyl-C₆₋₁₄ aryloxy group;
- (5) (5a) a C₁₋₆ alkylthio group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (5b) a C_{6-14} arylthio group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group, or
- (5c) a 5- or 6-membered aromatic heterocyclylthio group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (6) (6a) an amino group;

- (6b) a C₁₋₆ alkoxy-carbonyl-C₁₋₁₀ alkylamino group:
- (6c) a carboxy-C₁₋₁₀ alkylamino group;
- (6d) a C₇₋₁₃ aralkyloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (6e) a carbamoylamino group;
 - (6f) a mono- or di-C₁₋₆ alkyl-carbamoylamino group;
 - (6g) a C₁₋₆ alkylsulfonylamino group;
- (6h) a C_{6-14} arylsulfonylamino group optionally substituted by a C_{1-6} alkylsulfonyl group;
- (6i) an aromatic heterocyclyl-sulfonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group and a monoor di- $(C_{1-6}$ alkyl-carbonyl)-amino group;
 - (6j) a mono- or di-(C₁₋₆ alkyl-carbonyl)-amino group;
 - (6k) a C₃₋₁₀ cycloalkyl-carbonylamino group;
- (6l) a C₆₋₁₄ aryl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a cyano group, an optionally halogenated C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group;
 - (6m) a C₇₋₁₃ aralkyl-carbonylamino group;
 - (6n) a C₈₋₁₃ arylalkenyl-carbonylamino group;
- (6o) an aromatic heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a C_{6-14} aryl group, a C_{7-13} aralkyl group, a C_{1-6} alkoxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (6p) a nitrogen-containing heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxyl-carbonyl group and a carbamoyl group), a carboxyl

group;

group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;

- (6q) a C₆₋₁₄ aryl-nitrogen-containing heterocyclyl-carbonylamino
 - (6r) a tetrahydropyranylcarbonylamino group;

7

- (6s) a 4-oxo-4,5,6,7-tetrahydro-1-benzofuranyl-carbonylamino group:
- (6t) a C_{1-6} alkoxy-carbonylamino group optionally substituted by a C_{1-6} alkoxy-carbonyl group;
- (6u) a C₆₋₁₄ aryloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group:
 - (6v) a C₇₋₁₃ aralkyl-carbamoylamino group; or
- (6w) an aromatic heterocyclyl-carbamoylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group; or
 - (7) (7a) tetrazolyl;
 - (7b) oxoimidazolidinyl;
- (7c) dioxoimidazolidinyl optionally substituted by a C_{1.6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C_{1.6} alkoxy-carbonyl group;
 - (7d) oxopiperazinyl:
 - (7e) dioxopiperazinyl:
 - (7f) oxodihydrooxadiazolyl:
 - (7a) dioxoisoindolvl:
 - (7h) oxazolyl optionally substituted by a C₁₋₆ alkoxy-carbonyl group;
- (7i) dioxooxazolidinyl or dioxothiazolidinyl, each of which is optionally substituted by a C₁₋₅ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C₁₋₅ alkoxy-carbonyl group;
- (7j) 4-oxo-2-thioxo-1,3-thiazolidin-5-yl or 4-oxo-2-thioxo-1,3-oxazolidin-5-yl, each of which is optionally substituted by a C₁₋₆ alkyl group

After Final Office Action of July 14, 2009

optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C₁₋₆ alkoxy-carbonyl group;

8

- (7k) 1,3(2H,5H)-dioxo-tetrahydroimidazo[1,5-a]pyridinyl;
- (7I) 1,3(2H,5H)-dioxo-10,10a-dihydroimidazo[1,5-b]isoquinolinyl; or
- (7m) a C₆₋₁₄ aryl group optionally substituted by a C₁₋₆ alkoxy-

carbonyl group:

provided that

when X is an ethoxycarbonyl group, then Q is a C₁₋₁₀ alkylene group or a C₂₋₁₀ alkenvlene group

or a salt thereof

- 23. (Previously presented) The compound of claim 22, wherein X is
- (2) a cyano group;
- (3) (3a) a carboxyl group;
 - (3b) a carbamoyl group;
- (3c) a C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamovi group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl-carbonyloxy group:
- (3d) an aromatic heterocyclyl-C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamovI group and a C1-6 alkoxy-carbonyl group;
- (3e) a non-aromatic heterocyclyl-C₁₋₆ alkoxy-carbonyl group optionally substituted by a C₁₋₆ alkyl group;
- (3f) a C₇₋₁₃ aralkyloxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamovl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkoxy group, a C₁₋₆ alky/sulfony/ group and a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group. C_{1.6} alkoxy-carbonyl group and a carbamoyl group);

- (3g) a carbamoyl group mono- or di-substituted by a C_{1-6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a C_{1-6} alkoxy group;
- (3h) a carbamoyl-C₁₋₆ alkyl-carbamoyl group optionally mono- or disubstituted by a C₁₋₆ alkyl group optionally substituted by 1 to 3 halogen atom(s);
- $\mbox{(3i) a C_{1-6} alkoxy-carbonyl-C_{1-6} alkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;}$
- (3j) a mono- or di- C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a $C_{1.6}$ alkyl group;
- (3k) a C₇₋₁₃ aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl group;
- (3l) an aromatic heterocyclyl-C₁₋₆ alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (3m) a C_{1-6} alkylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C_{1-6} alkoxy-carbonyl group:
- (3n) a C_{6-14} arylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a carboxyl group, a carbamoyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkylsulfonyl group;
- (3o) a nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group and a C₁₋₆ alkoxy-carbonyl group;
- (3p) a C₆₋₁₄ aryl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
- (3q) a C₇₋₁₃ aralkyl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group;

- $\mbox{(3s) a phosphono group optionally mono- or di-substituted by a C_{1-6} alkyl group;}$
 - (3t) an aromatic heterocyclyl-C₇₋₁₃ aralkyloxy-carbonyl group;
- (3u) a C₃₋₁₀ cycloalkyl-C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group:
- (3v) a C_{6-14} aryl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from an amino group optionally mono- or di-substituted by a C_{1-6} alkyl group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group; or
- (3w) an aromatic heterocyclyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (4) (4a) a C₁₋₆ alkyl-carbonyloxy group;
- (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (4c) a C_{6-14} aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfinyl group and a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group);
- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (4e) a fused aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl

group and a carbamoyl group;

- (4f) an aromatic heterocyclyl- C_{1-6} alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
 - (4g) an aromatic heterocyclyl-C₆₋₁₄ aryloxy group;
- (5) (5a) a C₁₋₆ alkylthio group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (5b) a $C_{6.14}$ arylthio group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group, a $C_{1.6}$ alkoxy-carbonyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamovl group; or
- (5c) a 5- or 6-membered aromatic heterocyclylthio group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group; or
 - (7) (7a) tetrazolvi:
 - (7b) oxoimidazolidinvl;
- (7c) dioxoimidazolidinyl optionally substituted by a C_{1.6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C_{1.6} alkoxy-carbonyl group:
 - (7d) oxopiperazinvl:
 - (7e) dioxopiperazinyl:
 - (7f) oxodihydrooxadiazolyl:
 - (7g) dioxoisoindolyl;
 - (7h) oxazolyl optionally substituted by a C₁₋₆ alkoxy-carbonyl group;
- (7i) dioxooxazolidinyl or dioxothiazolidinyl, each of which is optionally substituted by a C₁₋₆ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C₁₋₆ alkoxy-carbonyl group:
- (7j) 4-oxo-2-thioxo-1,3-thiazolidin-5-yl or 4-oxo-2-thioxo-1,3-oxazolidin-5-yl, each of which is optionally substituted by a C₁₋₈ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and

a C₁₋₆ alkoxy-carbonyl group;

- (7k) 1,3(2H,5H)-dioxo-tetrahydroimidazo[1,5-a]pyridinyl;
- (7I) 1,3(2H,5H)-dioxo-10,10a-dihydroimidazo[1,5-b]isoquinolinyl; or

(7m) a $C_{6\text{-}14}$ aryl group optionally substituted by a $C_{1\text{-}6}$ alkoxy-carbonyl group.

- 24. (Cancelled)
- 25. (Previously presented) The compound of claim 22, wherein R3 is a C6-14 aryl group optionally substituted by 1 to 3 substituent(s) selected from a C1-6 alkyl group optionally substituted by 1 to 3 halogen atom.
- 26. (Previously presented) The compound of claim 22, wherein Q is a bond.
 - 27. (Currently amended) The compound of claim-1_22, wherein X is
 - (3) (3a) a carboxyl group;
 - (3b) a carbamovi group:
- (3c) a $C_{1.6}$ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a $C_{1.6}$ alkoxy-carbonyl group and a $C_{1.6}$ alkyl-carbonyloxy group:
- (3d) an aromatic heterocyclyl- $C_{1.6}$ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group and a $C_{1.6}$ alkoxy-carbonyl group;
- (3e) a non-aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by a C_{1-6} alkyl group;
- (3f) a C₇₋₁₃ aralkyloxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylsulfonyl group and a C₁₋₆

alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, C_{1-6} alkoxy-carbonyl group and a carbamoyl group);

13

- (3g) a carbamoyl group mono- or di-substituted by a $C_{1:6}$ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a $C_{1:6}$ alkoxy group;
- (3h) a carbamoyl-C_{1.6} alkyl-carbamoyl group optionally mono- or disubstituted by a C_{1.6} alkyl group optionally substituted by 1 to 3 halogen atom(s);
- (3i) a $C_{1.6}$ alkoxy-carbonyl- $C_{1.6}$ alkyl-carbamoyl group optionally substituted by a $C_{1.6}$ alkyl group;
- (3j) a mono- or di- C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a $C_{1.6}$ alkyl group:
- (3k) a C₇₋₁₃ aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl group;
- (3l) an aromatic heterocyclyl-C₁₋₆ alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group:
- (3m) a C_{1-6} alkylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C_{1-6} alkoxy-carbonyl group;
- (3n) a C_{6-14} arylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkylsulfonyl group;
- (3o) a nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group and a C₁₋₆ alkoxyl-carbonyl group;
- $\label{eq:containing} \mbox{ (3p) a $C_{6.14}$ aryl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);}$

- (3q) a C₇₋₁₃ aralkyl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group;
- (3s) a phosphono group optionally mono- or di-substituted by a $C_{1.6}$ alkyl group;
 - (3t) an aromatic heterocyclyl-C₇₋₁₃ aralkyloxy-carbonyl group;
- (3u) a C_{3-10} cycloalkyl- C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamovl group:
- (3v) a C₆₋₁₄ aryl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from an amino group optionally mono- or di-substituted by a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group; or
- (3w) an aromatic heterocyclyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group:
 - (4) (4a) a C₁₋₆ alkyl-carbonyloxy group;
- (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (4c) a $C_{6.14}$ aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group, a $C_{1.6}$ alkylthio group, a carbamoyl group, a $C_{1.6}$ alkylsulfonyl group, a $C_{1.6}$ alkylsulfonyl group, a $C_{1.6}$ alkylsulfinyl group and a $C_{1.6}$ alkyl group (the $C_{1.6}$ alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group);
- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a

C₁₋₆ alkoxy-carbonyl group and a carbamoyl group:

- (4e) a fused aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
- (4f) an aromatic heterocyclyl-C₁₋₆ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group; or
 - (4g) an aromatic heterocyclyl-C₆₋₁₄ aryloxy group;
- (5) (5a) a C₁₋₆ alkylthio group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (5b) a C_{6-14} arylthio group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
- (5c) a 5- or 6-membered aromatic heterocyclylthio group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group; or
 - (6) (6a) an amino group;
 - (6b) a C₁₋₆ alkoxy-carbonyl-C₁₋₁₀ alkylamino group;
 - (6c) a carboxy-C₁₋₁₀ alkylamino group;
- (6d) a C₇₋₁₃ aralkyloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₈ alkoxy-carbonyl group and a carbamoyl group;
 - (6e) a carbamovlamino group;
 - (6f) a mono- or di-C₁₋₆ alkyl-carbamovlamino group;
 - (6g) a C₁₋₆ alkylsulfonylamino group;
- (6h) a C_{6-14} arylsulfonylamino group optionally substituted by a C_{1-6} alkylsulfonyl group;
- (6i) an aromatic heterocyclyl-sulfonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆alkyl group and a mono-

fter Final Office Action of July 14, 2009

or di-(C₁₋₆ alkyl-carbonyl)-amino group;

- (6j) a mono- or di-(C₁₋₆ alkyl-carbonyl)-amino group;
- (6k) a C₃₋₁₀ cycloalkyl-carbonylamino group;

16

- (6l) a C_{6-14} aryl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a cyano group, an optionally halogenated C_{1-6} alkyl group, a C_{1-6} alkoxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group;
 - (6m) a C₇₋₁₃ aralkyl-carbonylamino group;
 - (6n) a C₈₋₁₃ arvlalkenyl-carbonylamino group;
- (6o) an aromatic heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a C₆₋₁₄ aryl group, a C₇₋₁₃ aralkyl group, a C₁₋₆ alkoxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group:
- (6p) a nitrogen-containing heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a $C_{1.6}$ alkyl group (the $C_{1.6}$ alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group;
- (6q) a C_{8-14} aryl-nitrogen-containing heterocyclyl-carbonylamino group;
 - (6r) a tetrahydropyranylcarbonylamino group;
 - (6s) a 4-oxo-4,5,6,7-tetrahydro-1-benzofuranyl-carbonylamino
- (6t) a $C_{1.6}$ alkoxy-carbonylamino group optionally substituted by a $C_{1.6}$ alkoxy-carbonyl group;
- (6u) a C₆₋₁₄ aryloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (6v) a C₇₋₁₃ aralkyl-carbamovlamino group; or

group:

(6w) an aromatic heterocyclyl-carbamoylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group.

- 28. (Previously presented) The compound of claim 22, wherein X is a carboxyl group.
- 29. (Previously presented) The compound of claim 22, which is 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-neopentylnicotinic acid; 5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)nicotinic acid; methyl 3-{[5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)pyridin-3-yl]methoxy}-1-methyl-1H-pyrazole-4-carboxylate;
- $\label{lem:control} $$ \{[2-isobutyl-6-methyl-4-(4-methylphenyl)-5-(2-morpholin-4-yl-2-oxoethyl)pyridin-3-yl]methyl}amine;$
- methyl 3-([[5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)pyridin-3-yl]acetyl}amino)benzoate;
- N-[5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)pyridin-3-yl]isoxazole-4-carboxamide, or a salt thereof
- 30. (Previously presented) A pharmaceutical agent comprising a compound of claim 22 or a salt thereof.
- (Currently amended) The pharmaceutical agent of claim 30, which is an agent for the prophylaxis or treatment of diabetes, diabetic complications, impaired glucose tolerance or obesity.
- (Previously presented) A peptidase inhibitor comprising a compound of claim 22 or a salt thereof.
- (Previously presented) The inhibitor of claim 32, wherein the peptidase is dipeptidyl dipeptidase-IV.

- 34. (Withdrawn) A method for the prophylaxis or treatment of diabetes, diabetic complications, impaired glucose tolerance or obesity in a mammal, which comprises administering a compound of claim 22 or a salt thereof to the mammal
- 35. (Withdrawn) A method of inhibiting peptidase in a mammal, which comprises administering a compound of claim 22 or a salt thereof to the mammal.
- 36. (Previously presented) A production method of a compound represented by the formula

wherein

R1, R2, R3 and Q are as defined in claim 22;

La is a bond or a C_{1-9} alkylene group; and Xa is

- (3) (3a) a carboxyl group;
 - (3b) a carbamoyl group;
- (3c) a $C_{1.6}$ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a $C_{1.6}$ alkoxy-carbonyl group and a $C_{1.6}$ alkyl-carbonyloxy group;
- (3d) an aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group and a C_{1-6} alkoxy-carbonyl group;
 - (3e) a non-aromatic heterocyclyl-C₁₋₆ alkoxy-carbonyl group

Docket No.: 66540(46590)

optionally substituted by a C1-6 alkyl group;

- (3f) a C₇₋₁₃ aralkyloxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylsulfonyl group and a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, C₁₋₆ alkoxy-carbonyl group and a carbamoyl group):
- (3g) a carbamoyl group mono- or di-substituted by a C_{1-6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a C_{1-6} alkoxy group:
- (3h) a carbamoyl-C_{1.6} alkyl-carbamoyl group optionally mono- or disubstituted by a C_{1.6} alkyl group optionally substituted by 1 to 3 halogen atom(s);
- (3i) a C_{1-6} alkoxy-carbonyl- C_{1-6} alkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;
- $\mbox{(3j) a mono- or di-C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;}$
- (3k) a C_{7-13} aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkyl group;
- (3l) an aromatic heterocyclyl-C₁₋₆ alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (3m) a C_{1-6} alkylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C_{1-6} alkoxy-carbonyl group;
- (3n) a C_{6-14} arylsulfonyl group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a carboxyl group, a carbamoyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkylsulfonyl group;

- (3o) a nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group and a C₁₋₆ alkoxyl-carbonyl group;
- (3p) a C₆₋₁₄ aryl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
- (3q) a C₇₋₁₃ aralkyl-nitrogen-containing heterocyclyl-carbonyl group optionally substituted by 1 to 3 halogen atom(s);
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group;
- (3s) a phosphono group optionally mono- or di-substituted by a C₁₋₆ alkyl group;
 - (3t) an aromatic heterocyclyl-C₇₋₁₃ aralkyloxy-carbonyl group;
- (3u) a C₃₋₁₀ cycloalkyl-C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
- (3v) a C₆₋₁₄ aryl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from an amino group optionally mono- or di-substituted by a C₁₋₆ alkyl group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group; or
- (3w) an aromatic heterocyclyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxycarbonyl group and a carbamoyl group;
 - (4) (4a) a C₁₋₆ alkyl-carbonyloxy group;
- (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (4c) a $C_{6.14}$ aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group, a $C_{1.6}$ alkylthio group, a carbamoyl group, a $C_{1.6}$ alkylsulfonyl group, a $C_{1.6}$ alkylsulfonyl group, a $C_{1.6}$ alkylsulfonyl group and a $C_{1.6}$ alkyl group (the $C_{1.6}$ alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a

carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group);

- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a $C_{1.6}$ alkyl group (the $C_{1.6}$ alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a $C_{1.6}$ alkoxy-carbonyl group and a carbamoyl group;
- (4e) a fused aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
- (4f) an aromatic heterocyclyl- C_{1-6} alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
 - (4g) an aromatic heterocyclyl-C₆₋₁₄ aryloxy group;
- (5) (5a) a C₁₋₆ alkylthio group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (5b) a C_{6-14} arylthio group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
- (5c) a 5- or 6-membered aromatic heterocyclylthio group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
 - (6) (6a) an amino group;
 - (6b) a C₁₋₆ alkoxy-carbonyl-C₁₋₁₀ alkylamino group;
 - (6c) a carboxy-C₁₋₁₀ alkylamino group;
- (6d) a C_{7-13} aralkyloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
 - (6e) a carbamoylamino group;
 - (6f) a mono- or di-C₁₋₆ alkyl-carbamoylamino group;

- (6g) a C₁₋₆ alkylsulfonylamino group;
- (6h) a C_{6-14} arylsulfonylamino group optionally substituted by a C_{1-6} alkylsulfonyl group;
- (6i) an aromatic heterocyclyl-sulfonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆alkyl group and a monoor di-(C₁₋₆ alkyl-carbonyl)-amino group;
 - (6j) a mono- or di-(C₁₋₆ alkyl-carbonyl)-amino group;
 - (6k) a C₃₋₁₀ cycloalkyl-carbonylamino group;
- (6i) a C₆₋₁₄ aryl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a cyano group, an optionally halogenated C₁₋₆ alkyl group, a C₁₋₅ alkoxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group;
 - (6m) a C₇₋₁₃ aralkyl-carbonylamino group;
 - (6n) a C₈₋₁₃ arylalkenyl-carbonylamino group;
- (6o) an aromatic heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C₁₋₆ alkyl group, a C₆₋₁₄ aryl group, a C₇₋₁₃ aralkyl group, a C₁₋₆ alkoxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
- (6p) a nitrogen-containing heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (6q) a C_{6-14} aryl-nitrogen-containing heterocyclyl-carbonylamino group:
 - (6r) a tetrahydropyranylcarbonylamino group;
 - (6s) a 4-oxo-4,5,6,7-tetrahydro-1-benzofuranyl-carbonylamino

group:

(6t) a C₁₋₆ alkoxy-carbonylamino group optionally substituted by a

C₁₋₆ alkoxy-carbonyl group;

- (6u) a C₆₋₁₄ aryloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a carbamoyl group;
 - (6v) a C₇₋₁₃ aralkyl-carbamoylamino group; or
- (6w) an aromatic heterocyclyl-carbamoylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxycarbonyl group and a carbamoyl group; or
 - (7) (7a) tetrazolyl;
 - (7b) oxoimidazolidinyl;
- (7c) dioxoimidazolidinyl optionally substituted by a C_{1-6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C_{1-6} alkoxy-carbonyl group:
 - (7d) oxopiperazinyl;
 - (7e) dioxopiperazinyl;
 - (7f) oxodihydrooxadiazolyl;
 - (7a) dioxoisoindolyl;
 - (7h) oxazolyl optionally substituted by a C₁₋₆ alkoxy-carbonyl group;
- (7i) dioxooxazolidinyl or dioxothiazolidinyl, each of which is optionally substituted by a C_{1.6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a C_{1.6} alkoxy-carbonyl group;
- (7j) 4-oxo-2-thioxo-1,3-thiazolidin-5-yl or 4-oxo-2-thioxo-1,3-oxazolidin-5-yl, each of which is optionally substituted by a $C_{1.6}$ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group and a $C_{1.6}$ alkoxy-carbonyl group;
 - (7k) 1,3(2H,5H)-dioxo-tetrahydroimidazo[1,5-a]pyridinyl;
 - (7I) 1,3(2H,5H)-dioxo-10,10a-dihydroimidazo[1,5-b]isoquinolinyl; or
- (7m) a C₆₋₁₄ aryl group optionally substituted by a C₁₋₆ alkoxy-

or a salt thereof, which comprises subjecting a compound represented by the

carbonyl group;

24 Docket No.: 66540(46590)

formula

$$R^2$$
 $Xa-Q$
 R^3
 R^3
 R^1
 R^2
 R^3

wherein each symbol is as defined above, or a salt thereof to a reduction reaction.

- 37. (Previously presented) The compound of claim 22, wherein R³ is a phenyl group optionally substituted by 1 to 3 substituent(s) selected from a C_{1.6} alkyl group optionally substituted by 1 to 3 halogen atom(s) and a halogen atom.
 - 38. (Previously presented) The compound of claim 22, wherein X is
 - (3) (3a) a carboxyl group;
 - (3b) a carbamoyl group;
- (3c) a C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl-carbonyloxy group;
- (3d) an aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group and a C_{1-6} alkoxy-carbonyl group;
- (3e) a non-aromatic heterocyclyl- C_{1-6} alkoxy-carbonyl group optionally substituted by a C_{1-6} alkyl group;
- (3g) a carbamoyl group mono- or di-substituted by a $C_{1.6}$ alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a $C_{1.6}$ alkoxy group;
- (3h) a carbamoyl- C_{1-6} alkyl-carbamoyl group optionally mono- or disubstituted by a C_{1-6} alkyl group optionally substituted by 1 to 3 halogen atom(s);

- (3i) a C_{1-6} alkoxy-carbonyl- C_{1-6} alkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;
- (3j) a mono- or di- C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a C_{1-6} alkyl group;
- (3k) a C₇₋₁₃ aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C₁₋₆ alkyry-carbonyl group and a C₁₋₆ alkyl group;
- (3l) an aromatic heterocyclyl-C₁₋₆ alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group;
- (4) (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₈ alkoxy-carbonyl group:
- (4c) a C_{6-14} aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a C_{1-6} alkoxy-carbonyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfinyl group and a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group);
- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group;
- (6) (6d) a C₇₋₁₃ aralkyloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1.6} alkoxy-carbonyl group and a carbamoyl group;
- (6l) a C_{6-14} aryl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a cyano group, an optionally

halogenated C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a carboxyl group, a C₁₋₆ alkoxycarbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group;

26

- (6o) an aromatic heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a C_{6-14} aryl group, a C_{7-13} aralkyl group, a C_{1-6} alkoxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
- (6p) a nitrogen-containing heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group.
 - 39. (Previously presented) The compound of claim 22, wherein X is
 - (3) (3a) a carboxyl group;
 - (3b) a carbamoyl group;
- (3c) a C_{1-6} alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group, a C_{1-6} alkoxy-carbonyl group and a C_{1-6} alkyl-carbonyloxy group;
- (3d) an aromatic heterocyclyl-C₁₋₆ alkoxy-carbonyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group, a thiocarbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- $\label{eq:condition} \mbox{(3e) a non-aromatic heterocyclyl-C_{1-6}$ alkoxy-carbonyl group} \mbox{optionally substituted by a C_{1-6}$ alkyl group;}$
- (3g) a carbamoyl group mono- or di-substituted by a C_{1-6} alkyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom and a C_{1-6} alkoxy group:
- (3h) a carbamoyl-C₁₋₈ alkyl-carbamoyl group optionally mono- or disubstituted by a C₁₋₈ alkyl group optionally substituted by 1 to 3 halogen atom(s);
 - (3i) a C₁₋₆ alkoxy-carbonyl-C₁₋₆ alkyl-carbamoyl group optionally

substituted by a C₁₋₆ alkyl group;

(3j) a mono- or di- C_{3-10} cycloalkyl-carbamoyl group optionally substituted by a $C_{1.6}$ alkyl group;

27

- (3k) a C₇₋₁₃ aralkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a hydroxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group and a C₁₋₆ alkyl group;
- (3l) an aromatic heterocyclyl- C_{1-6} alkyl-carbamoyl group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a carbamoyl group and a C_{1-6} alkoxy-carbonyl group; or
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group.
 - 40. (Previously presented) The compound of claim 22, wherein X is
 - (3) (3a) a carboxyl group;
- $\label{eq:condition} \mbox{(3e) a non-aromatic heterocyclyl-C_{1.6}$ alkoxy-carbonyl group optionally substituted by a C_{1.6}$ alkyl group; or$
 - (3r) a non-aromatic heterocyclyloxy-carbonyl group.
 - 41. (Previously presented) The compound of claim 22, wherein X is
- (4) (4b) a C₁₋₁₀ alkoxy group optionally substituted by 1 to 3 substituent(s) selected from a hydroxy group, a carboxyl group, a carbamoyl group and a C₁₋₆ alkoxy-carbonyl group;
- (4c) a C_{6-14} aryloxy group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a carboxyl group, a C_{1-6} alkoxycarbonyl group, a C_{1-6} alkylthio group, a carbamoyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfonyl group and a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group); or
- (4d) a 5- or 6-membered aromatic heterocyclyloxy group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group (the C_{1-6} alkyl group is optionally substituted by 1 or 2 substituent(s) selected from a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a

C₁₋₆ alkoxy-carbonyl group and a carbamoyl group.

- 42. (Previously presented) The compound of claim 22, wherein X is
- (6) (6d) a C₇₋₁₃ aralkyloxy-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a C_{1.6} alkoxy-carbonyl group and a carbamoyl group;

(6i) a C₆₋₁₄ aryl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a halogen atom, a cyano group, an optionally halogenated C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a carboxyl group, a C₁₋₆ alkoxy-carbonyl group, an aromatic heterocyclic group, a non-aromatic heterocyclic group and a carbamoyl group;

- (6o) an aromatic heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a C_{1-6} alkyl group, a C_{6-14} aryl group, a C_{7-13} aralkyl group, a C_{1-6} alkoxy group, a carboxyl group, a C_{1-6} alkoxy-carbonyl group and a carbamoyl group; or
- (6p) a nitrogen-containing heterocyclyl-carbonylamino group optionally substituted by 1 to 3 substituent(s) selected from a c_{1-6} alkyl group (the c_{1-6} alkyl group is optionally substituted by 1 to 3 substituent(s) selected from a carboxyl group, a c_{1-6} alkoxy-carbonyl group and a carbamoyl group), a carboxyl group, a c_{1-6} alkoxy-carbonyl group and a carbamoyl group.